

Connecting via Winsock to STN

552,835
STN Process Search
(earliest)
Claim 1

Welcome to STN International! Enter x:x

LOGINID:SSPTAJMN1626

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

NEWS 1	1	Web Page for STN Seminar Schedule - N. America
NEWS 2	AUG 06	CAS REGISTRY enhanced with new experimental property tags
NEWS 3	AUG 06	FSTA enhanced with new thesaurus edition
NEWS 4	AUG 13	CA/CAplus enhanced with additional kind codes for granted patents
NEWS 5	AUG 20	CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS 6	AUG 27	Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS 7	AUG 27	USPATOLD now available on STN
NEWS 8	AUG 28	CAS REGISTRY enhanced with additional experimental spectral property data
NEWS 9	SEP 07	STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS 10	SEP 13	FORIS renamed to SOFIS
NEWS 11	SEP 13	INPADOCDB enhanced with monthly SDI frequency
NEWS 12	SEP 17	CA/CAplus enhanced with printed CA page images from 1967-1998
NEWS 13	SEP 17	CAplus coverage extended to include traditional medicine patents
NEWS 14	SEP 24	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 15	OCT 02	CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS 16	OCT 19	BEILSTEIN updated with new compounds
NEWS 17	NOV 15	Derwent Indian patent publication number format enhanced
NEWS 18	NOV 19	WPIX enhanced with XML display format
NEWS 19	NOV 30	ICSD reloaded with enhancements
NEWS 20	DEC 04	LINPADOCDB now available on STN
NEWS 21	DEC 14	BEILSTEIN pricing structure to change
NEWS 22	DEC 17	USPATOLD added to additional database clusters
NEWS 23	DEC 17	IMSDRUGCONF removed from database clusters and STN
NEWS 24	DEC 17	DGENE now includes more than 10 million sequences
NEWS 25	DEC 17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS 26	DEC 17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 27	DEC 17	CA/CAplus enhanced with new custom IPC display formats
NEWS 28	DEC 17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS 29	JAN 02	STN pricing information for 2008 now available
NEWS EXPRESS	19 SEPTEMBER 2007:	CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

NEWS HOURS STN Operating Hours Plus Help Desk Availability

10/552, 835

01/15/2008

NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 18:05:24 ON 15 JAN 2008

FILE 'CASREACT' ENTERED AT 18:05:34 ON 15 JAN 2008
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications.

FILE CONTENT:1840 - 12 Jan 2008 VOL 148 ISS 3'

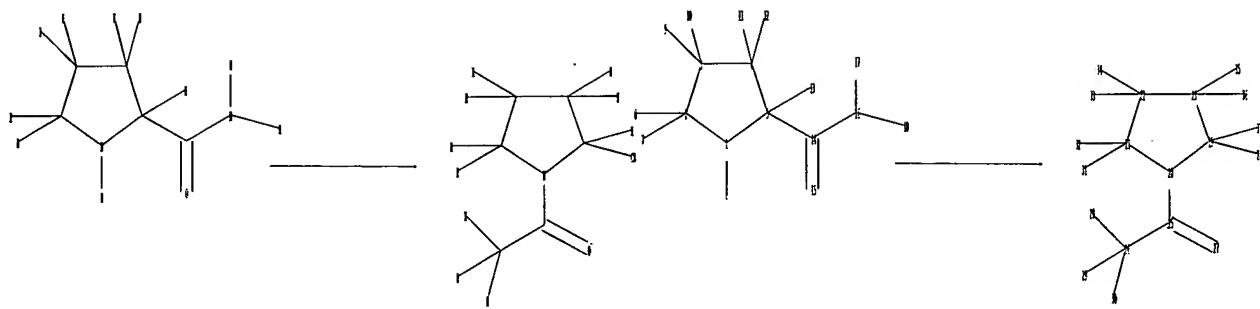
New CAS Information Use Policies, enter HELP USAGETERMS for details.

```
*****  
*  
*      CASREACT now has more than 13.8 million reactions  
*  
*****
```

Some CASREACT records are derived from the ZIC/VINITI database (1974-1999) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=>
Uploading C:\Program Files\Stnexp\Queries\10552835\process1.str



chain nodes :
 6 7 8 9 10 11 12 13 14 15 16 17 18 24 25 26 27 28 29 30 31 32
 33 34 35 36 37

ring nodes :
 1 2 3 4 5 19 20 21 22 23

chain bonds :
 1-6 2-7 2-8 3-9 3-10 4-11 4-12 5-13 5-14 14-15 14-16 16-17 16-18 19-24
 19-37 20-25 21-31 21-32 22-33 22-34 23-35 23-36 25-26 25-27 26-28 26-29
 26-30

ring bonds :
 1-2 1-5 2-3 3-4 4-5 19-20 19-23 20-21 21-22 22-23

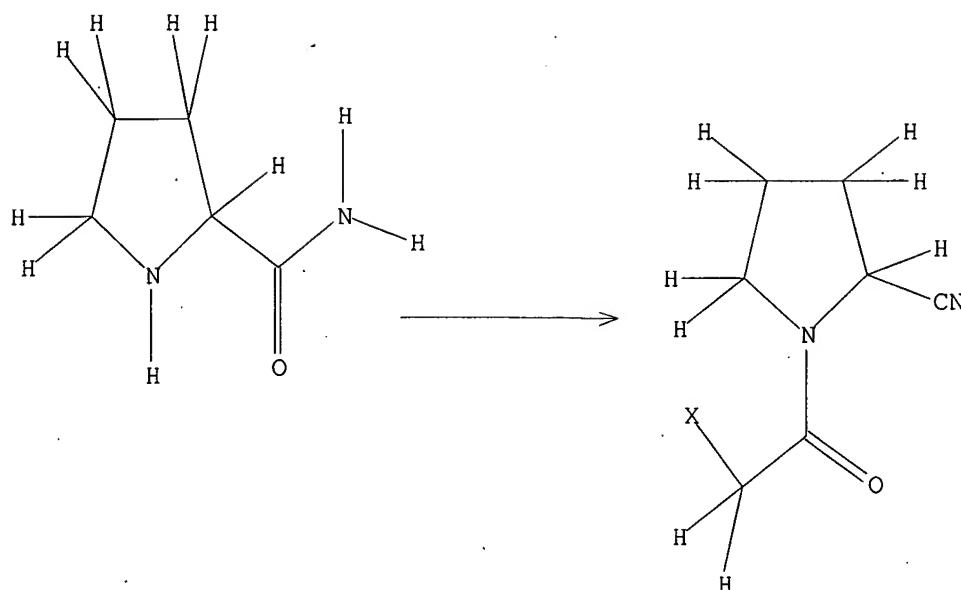
exact/norm bonds :
 1-2 1-5 2-3 3-4 4-5 14-15 14-16 19-20 19-23 20-21 20-25 21-22 22-23
 25-27

exact bonds :
 1-6 2-7 2-8 3-9 3-10 4-11 4-12 5-13 5-14 16-17 16-18 19-24 19-37 21-31
 21-32 22-33 22-34 23-35 23-36 25-26 26-28 26-29 26-30

Match level :
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS
 18:CLASS 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:CLASS 25:CLASS 26:CLASS
 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 34:CLASS
 35:CLASS 36:CLASS 37:CLASS
 fragments assigned product role:
 containing 19
 fragments assigned reactant/reagent role:
 containing 1
 node mappings:
 1:20 5:19 4:23 3:22 2:21

L1 STRUCTURE UPLOADED

=> d
 L1 HAS NO ANSWERS
 L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 18:05:53 FILE 'CASREACT'
SCREENING COMPLETE - 2 REACTIONS TO VERIFY FROM

1 DOCUMENTS

100.0% DONE 2 VERIFIED

0 HIT RXNS

0 DOCS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED VERIFICATIONS: 2 TO 124

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1 (0 REACTIONS)

=> s 11 full

FULL SEARCH INITIATED 18:05:57 FILE 'CASREACT'
SCREENING COMPLETE - 928 REACTIONS TO VERIFY FROM

31 DOCUMENTS

100.0% DONE 928 VERIFIED

7 HIT RXNS

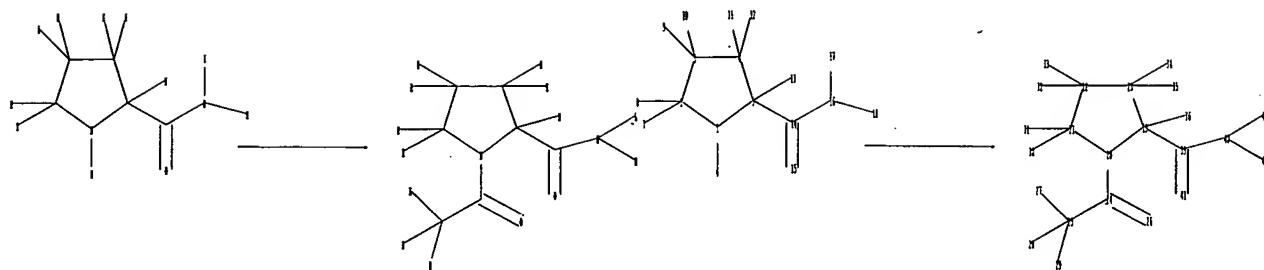
4 DOCS

SEARCH TIME: 00.00.01

L3 4 SEA SSS FUL L1 (7 REACTIONS)

=>

Uploading C:\Program Files\Stnexp\Queries\10552835\process2.str



chain nodes :

6	7	8	9	10	11	12	13	14	15	16	17	18	24	25	26	27	28	29	30	31	32
33	34	35	36	39	40	41	42	43													

ring nodes :

1	2	3	4	5	19	20	21	22	23												
---	---	---	---	---	----	----	----	----	----	--	--	--	--	--	--	--	--	--	--	--	--

chain bonds :

1-6	2-7	2-8	3-9	3-10	4-11	4-12	5-13	5-14	14-15	14-16	14-17	16-18	19-36								
19-39	20-24	21-30	21-31	22-32	22-33	23-34	23-35	23-36	24-25	24-26	25-27	25-28									
25-29	39-40	39-41	40-42	40-43																	

ring bonds :

1-2	1-5	2-3	3-4	4-5	19-20	19-23	20-21	21-22	22-23											
-----	-----	-----	-----	-----	-------	-------	-------	-------	-------	--	--	--	--	--	--	--	--	--	--	--

exact/norm bonds :

1-2	1-5	2-3	3-4	4-5	14-15	14-16	19-20	19-23	20-21	20-24	21-22	22-23								
24-26	39-40	39-41																		

exact bonds :

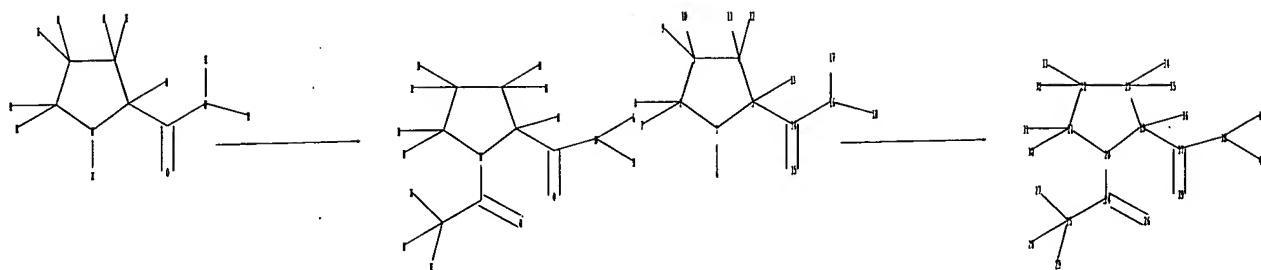
1-6	2-7	2-8	3-9	3-10	4-11	4-12	5-13	5-14	16-17	16-18	19-36	19-39	21-30							
21-31	22-32	22-33	23-34	23-35	23-36	24-25	24-26	25-27	25-28	25-29	40-42	40-43								

Match level :

1:Atom	2:Atom	3:Atom	4:Atom	5:Atom	6:CLASS	7:CLASS	8:CLASS	9:CLASS											
10:CLASS	11:CLASS	12:CLASS	13:CLASS	14:CLASS	15:CLASS	16:CLASS	17:CLASS												
18:CLASS	19:Atom	20:Atom	21:Atom	22:Atom	23:Atom	24:CLASS	25:CLASS	26:CLASS											
27:CLASS	28:CLASS	29:CLASS	30:CLASS	31:CLASS	32:CLASS	33:CLASS	34:CLASS												
35:CLASS	36:CLASS	39:CLASS	40:CLASS	41:CLASS	42:CLASS	43:CLASS													

L4 STRUCTURE UPLOADED

=>
Uploading C:\Program Files\Stnexp\Queries\10552835\process3.str



chain nodes :
 6 7 8 9 10 11 12 13 14 15 16 17 18 24 25 26 27 28 29 30 31 32
 33 34 35 36 37 38 39 40 41

ring nodes :

1 2 3 4 5 19 20 21 22 23

chain bonds :

1-6 2-7 2-8 3-9 3-10 4-11 4-12 5-13 5-14 14-15 14-16 16-17 16-18 19-36
 19-37 20-24 21-30 21-31 22-32 22-33 23-34 23-35 24-25 24-26 25-27 25-28
 25-29 37-38 37-39 38-40 38-41

ring bonds :

1-2 1-5 2-3 3-4 4-5 19-20 19-23 20-21 21-22 22-23

exact/norm bonds :

1-2 1-5 2-3 3-4 4-5 14-15 14-16 19-20 19-23 20-21 20-24 21-22 22-23
 24-26 37-38 37-39

exact bonds :

1-6 2-7 2-8 3-9 3-10 4-11 4-12 5-13 5-14 16-17 16-18 19-36 19-37 21-30
 21-31 22-32 22-33 23-34 23-35 24-25 25-27 25-28 25-29 38-40 38-41

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS
 18:CLASS 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:CLASS 25:CLASS 26:CLASS
 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 34:CLASS
 35:CLASS 36:CLASS 37:CLASS 38:CLASS 39:CLASS 40:CLASS 41:CLASS

fragments assigned product role:

containing 19

fragments assigned reactant/reagent role:

containing 1

node mappings:

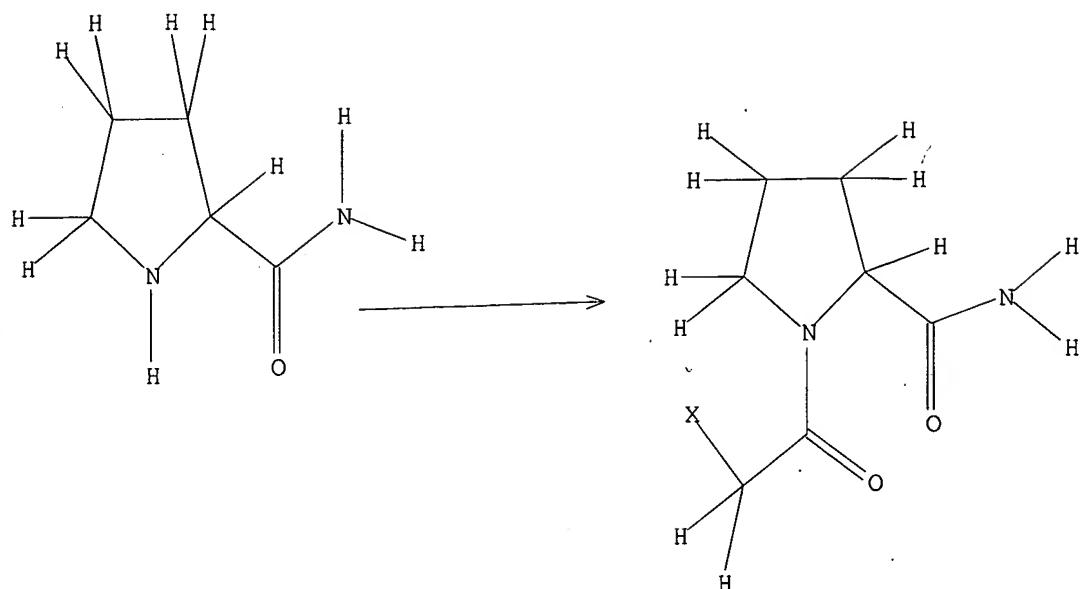
1:20 5:19 14:37 16:38 4:23 3:22 2:21

L5 STRUCTURE UPLOADED

=> d

L5 HAS NO ANSWERS

L5 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 15 full
 FULL SEARCH INITIATED 18:07:51 FILE 'CASREACT'
 SCREENING COMPLETE - 2979 REACTIONS TO VERIFY FROM 238 DOCUMENTS
 100.0% DONE 2979 VERIFIED 7 HIT RXNS 6 DOCS
 SEARCH TIME: 00.00.03

L6 6 SEA SSS FUL L5 (7 REACTIONS)

=> s 13 or 16
 L7 7 L3 OR L6

=> s 17 and DMF
 19823 DMF
 L8 0 L7 AND DMF

=> d ibib abs hit L7 tot

L7 ANSWER 1 OF 7 CASREACT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 145:471864 CASREACT

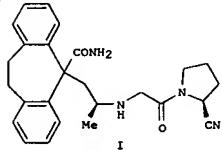
TITLE: Preparation of multicyclic peptide derivatives as dipeptidyl peptidase-IV inhibitors
INVENTOR(S): Kroth, Heiko; Feuerstein, Tim; Richter, Frank; Boer, Jürgen; Essers, Michael; Nolte, Bert; Schneider, Matthias; Hochquertel, Matthias; Frickel, Fritz-Frieder; Taveras, Arthur
PATENT ASSIGNEE(S): Alantos Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 542pp.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006116157	A2	20061102	WO 2006-US15200	20060421
WO 2006116157	A9	20070301		
WO 2006116157	A3	20070419		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OR				
AU 2006233929	A1	20061102	AU 2006-233929	20060421
CA 2599419	A1	20061102	CA 2006-2599419	20060421
US 2006270701	A1	20061130	US 2006-409481	20060421
IN 2007DN06747	A	20070921	IN 2007-DN6747	20070831
PRIORITY APPLN. INFO.:			US 2005-674151P	20050422
OTHER SOURCE(S):	MARPAT	145:471864	WO 2006-US15200	20060421
GI				

OTHER SOURCE(S): MARPAT 145:471864

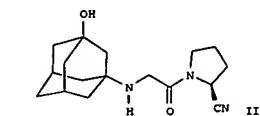
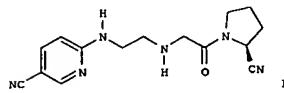
GI



L7 ANSWER 2 OF 7 CASREACT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 139:133417 CASREACT

TITLE: 1-[(3-Hydroxy-1-adamantyl)amino]acetyl-2-cyano-(S)-pyrrolidine: A Potent, Selective, and Orally Bioavailable Dipeptidyl Peptidase IV Inhibitor with Antihyperglycemic Properties
AUTHOR(S): Villhauer, Edwin B.; Brinkman, John A.; Naderi, Golli B.; Burkey, Bryan F.; Dunning, Beth E.; Prasad, Kapila; Mangold, Bonnie L.; Russell, Mary E.; Hughes, Thomas E.
CORPORATE SOURCE: Novartis Institute for Biomedical Research, East Hanover, NJ, 07936, USA
SOURCE: Journal of Medicinal Chemistry (2003), 46(13), 2774-2789
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



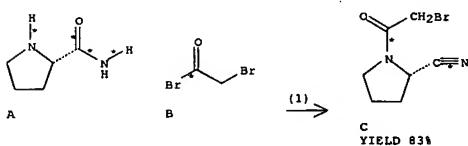
AB Dipeptidyl peptidase IV (DPP-IV) inhibition has the potential to become a valuable therapy for type 2 diabetes. The synthesis and structure-activity relationship of a new DPP-IV inhibitor class, N-substituted-glycyl-2-cyano-pyrrolidines, are described as well as the path leading from the clin. development compound, 1-[2-[(5-cyano-2-yl)amino]ethyl]aminolactyl-2-cyano-(S)-pyrrolidine I (NVP-DPP728), to its follow-up, 1-[(3-hydroxy-1-adamantyl)amino]acetyl-2-cyano-(S)-pyrrolidine II (NVP-LAF237). The pharmacol. profile of II in obese Zucker rats along with pharmacokinetic profile comparison of I and II in normal cynomolgus monkeys is discussed. The results suggest that II is a potent, stable, selective DPP-IV inhibitor possessing excellent oral bioavailability and potent antihyperglycemic activity with potential for once-a-day administration.

REFERENCE COUNT: 92 THERE ARE 92 CITED REFERENCES AVAILABLE FOR THIS

L7 ANSWER 1 OF 7 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

AB The invention relates generally to pyrrolidine and thiazolidine DPP-IV inhibitory compds. A-B-C-D (A is a bicyclic or tricyclic ring system attached to B at carbon or nitrogen; B is a linking group such as an amino acid residue or fragment; D is a pyrrolidine or thiazolidine residue or derivative), including isomers and pharmaceutically-acceptable salts, for treatment of DPP-IV mediated diseases, in particular, type-2 diabetes. Thus, pyrrolidinecarboxylate derivative I was prepared by reaction of 5-[(S)-2-aminopropyl]-10,11-dihydro-5H-dibenzo[a,d]cycloheptene-5-carboxamide with N-glyoxyloyl-1-prolinecarboxylate (preps. given) and showed $K_i < 6$ nM for inhibition of DPP-IV.

RX(1) OF 627 A + B ==> C...



RX(1) RCT A 7531-52-4, B 598-21-0

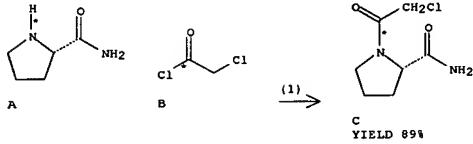
STAGE(1)
SOL 75-09-2 CH2Cl2
CON room temperatureSTAGE(2)
RGT D 407-25-0 (CF3CO)2O
SOL 75-09-2 CH2Cl2

PRO C 207557-33-3

RX(1) RCT A 7531-52-4, B 598-21-0

L7 ANSWER 2 OF 7 CASREACT COPYRIGHT 2008 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

RX(1) OF 233 A + B ==> C...



RX(1) RCT A 7531-52-4, B 79-04-9

RGT D 584-08-7 K2CO3

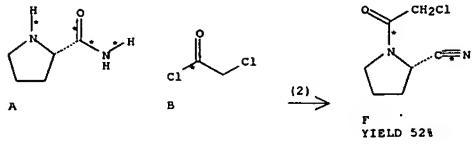
PRO C 214398-99-9

SOL 109-99-9 THF

CON SUBSTAGE(1) .75 hours, room temperature

SUBSTAGE(2) 18 hours, room temperature

RX(2) OF 233 A + B ==> F...



RX(2) RCT A 7531-52-4, B 79-04-9

STAGE(1)
RGT D 584-08-7 K2CO3

SOL 109-99-9 THF

CON SUBSTAGE(1) .75 hours, room temperature

SUBSTAGE(2) 2 hours, room temperature

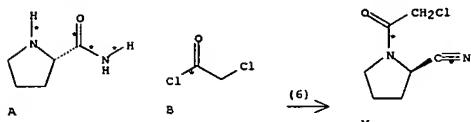
STAGE(2)
RGT G 407-25-0 (CF3CO)2O

CON 1 hour, room temperature

PRO F 207557-35-5

RX(6) OF 233 A + B ==> M...

L7 ANSWER 2 OF 7 CASREACT COPYRIGHT 2008 ACS on STN (Continued)



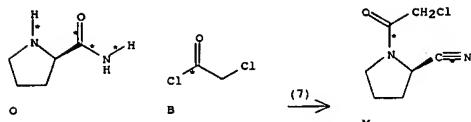
RX(6) RCT A 7531-52-4, B 79-04-9

STAGE(1)
RGT D 584-08-7 K2CO3
SOL 109-99-9 THF
CON 2 hours, room temperature

STAGE(2)
RGT G 407-25-0 (CF3CO)2O
CON 1 hour, room temperature

PRO M 565452-98-4

RX(7) OF 233 O + B ==> M...



RX(7) RCT O 62937-45-5, B 79-04-9

STAGE(1)
RGT D 584-08-7 K2CO3
SOL 109-99-9 THF
CON 2 hours, room temperature

STAGE(2)
RGT G 407-25-0 (CF3CO)2O
CON 1 hour, room temperature

PRO M 565452-98-4

L7 ANSWER 3 OF 7 CASREACT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 139:69521 CASREACT

TITLE: Preparation of imidazolidineacetic acids and (imidazolidineacetyl)prolines for use in pharmaceutical synthesis

INVENTOR(S): Roe, Michael Bryan; Tartar, Andre

PATENT ASSIGNEE(S): Ferring BV, Neth.

SOURCE: PCT Int. Appl., 27 pp.

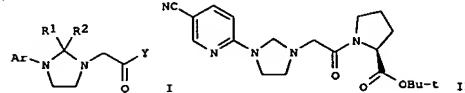
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051848	A2	20030626	WO 2002-GB5631	20021212
WO 2003051848	A3	20030918		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
WV:	GH, GM, KE, LS, MW, MZ, SD, SZ, TZ, UG, ZM, ZW, AM, A2, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, C2, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG			
AU 2002350942	A1	20030630	AU 2002-350942	20021212
PRIORITY APPN. INFO.:			GB 2001-29988	20011214
WO 2002-GB5631			WO 2002-GB5631	20021212

OTHER SOURCE(S): MARPAT 139:69521
GI

AB Title compd. I [wherein Ar = (un)substituted Ph or heteroaryl; R1 and R2 = independently H or alkyl, preferably H, Me, or Et; X = OH, alkoxy, aralkoxy, O-resin, NH2, alkylamino, aralkylamino, or NH-resin; Y = OH, alkoxy, aralkoxy, 2-cyano-1-pyrrolidinyl, prolinyl, or prolinamido] were prepared by the reaction of an N-arylimidazolidine with an acetic acid derivative. I are useful in the synthesis of pharmaceutically active ethylenediamine derivs. Synthesis of title imidazolidines is more selective and provides higher yields than alkylation of the corresponding ethylenediamine derivs. For example, reaction of 6-chloronicotinonitrile and tert-Bu (2-aminoethyl)carbamate gave tert-Bu [2-(5-cyano-2-pyrrolidinyl)ethyl]carbamate (65%). Deprotection with trifluoroacetic acid provided the amine salt (100%), which was cyclized with HCHO to afford the imidazoline (33%). Alkylation of the imidazoline with N-bromoacetyl-L-proline tert-Bu ester using TEA in THF gave II (35%).

L7 ANSWER 2 OF 7 CASREACT COPYRIGHT 2008 ACS on STN (Continued)



RX(7) OF 233 O + B ==> M...

RX(7) RCT O 62937-45-5, B 79-04-9

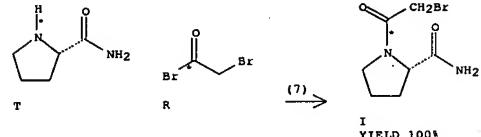
STAGE(1)
RGT D 584-08-7 K2CO3
SOL 109-99-9 THF
CON 2 hours, room temperature

STAGE(2)
RGT G 407-25-0 (CF3CO)2O
CON 1 hour, room temperature

PRO M 565452-98-4

L7 ANSWER 3 OF 7 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

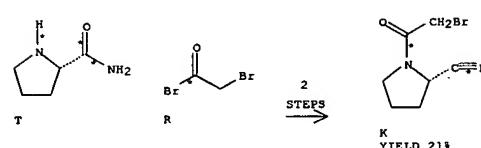
RX(7) OF 60 T + R ==> I...



RX(7) RCT T 7531-52-4, R 598-21-0
RGT G 121-44-8 Et3N, S 1122-58-3 4-DMAP
PRO I 253309-37-4
SOL 75-09-2 CH2Cl2
CON SUBSTAGE(1) 1 hour
SUBSTAGE(2) 2 hours

RX(19) OF 60 COMPOSED OF RX(7), RX(8)

RX(19) T + R ==> K



RX(7) RCT T 7531-52-4, R 598-21-0
RGT G 121-44-8 Et3N, S 1122-58-3 4-DMAP
PRO I 253309-37-4
SOL 75-09-2 CH2Cl2
CON SUBSTAGE(1) 1 hour
SUBSTAGE(2) 2 hours

RX(8) RCT I 253309-37-4

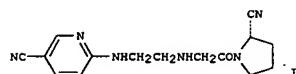
STAGE(1)
RGT U 407-25-0 (CF3CO)2O
SOL 75-09-2 CH2Cl2
CON SUBSTAGE(1) room temperature -> 0 deg C
SUBSTAGE(2) 15 minutes, 0 deg C
SUBSTAGE(3) 2 hours

STAGE(2)
RGT M 144-55-8 NaHCO3

L7 ANSWER 3 OF 7 CASREACT COPYRIGHT 2008 ACS on STN
 SOL 7732-18-5 Water
 CON 0 deg C
 PRO K 207557-33-3

(Continued)

L7 ANSWER 4 OF 7 CASREACT COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 137:78828 CASREACT
 TITLE: 1-[2-[(5-Cyano(pyridin-2-yl)amino)ethylamino]acetyl-2-(S)-pyrrolidinecarbonitrile: A Potent, Selective, and Orally Bioavailable Dipeptidyl Peptidase IV Inhibitor with Antihyperglycemic Properties
 AUTHOR(S): Villhauer, Edwin B.; Brinkman, John A.; Naderi, Golie B.; Dunning, Beth E.; Mangold, Bonnie L.; Mone, Manisha D.; Russell, Mary E.; Weldon, Stephen C.; Hughes, Thomas E.
 CORPORATE SOURCE: Novartis Institute for Biomedical Research, Summit, NJ, 07901, USA
 SOURCE: Journal of Medicinal Chemistry (2002), 45(12), 2362-2365
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

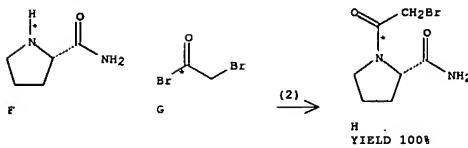


AB Dipeptidyl peptidase IV (DPP-IV) inhibition has the potential to become a valuable therapy for type 2 diabetes. We report the first use of solid-phase synthesis in the discovery of a new DPP-IV inhibitor class and a solution-phase synthesis that is practical up to the multikilogram scale. One compound, NVP-DPP728 (I), is profiled as a potent, selective, and short-acting DPP-IV inhibitor that has excellent oral bioavailability and potent antihyperglycemic activity.

REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

RX(2) OF 36 F + G ==> H...

L7 ANSWER 4 OF 7 CASREACT COPYRIGHT 2008 ACS on STN (Continued)



RX(2) RCT F 7531-52-4

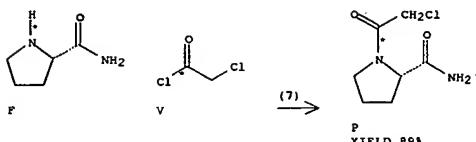
STAGE(1)
 RCT I 121-44-8 Et3N, J 1122-58-3 4-DMAP
 SOL 75-09-2 CH2Cl2

STAGE(2)
 RCT G 598-21-0
 SOL 75-09-2 CH2Cl2

STAGE(3)
 SOL 141-78-6 AcOEt

PRO H 253309-37-4
 NTE stereoselective

RX(7) OF 36 F + V ==> P...



RX(7) RCT F 7531-52-4

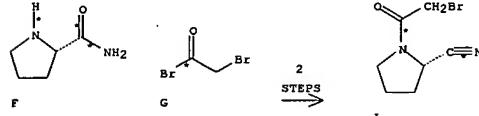
STAGE(1)
 SOL 109-99-9 THF
 RCT V 79-04-9
 RCT W 584-08-7 K2CO3
 SOL 109-99-9 THF

PRO P 214398-99-9
 NTE stereoselective

L7 ANSWER 4 OF 7 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

RX(13) OF 36 COMPOSED OF RX(2), RX(3)

RX(13) F + G ==> L



RX(2) RCT F 7531-52-4

STAGE(1)
 RCT I 121-44-8 Et3N, J 1122-58-3 4-DMAP
 SOL 75-09-2 CH2Cl2

STAGE(2)
 RCT G 598-21-0
 SOL 75-09-2 CH2Cl2

STAGE(3)
 SOL 141-78-6 AcOEt

PRO H 253309-37-4
 NTE stereoselective

RX(3) RCT H 253309-37-4

STAGE(1)
 SOL 75-09-2 CH2Cl2

STAGE(2)
 RCT M 407-25-0 (CF3CO)2O

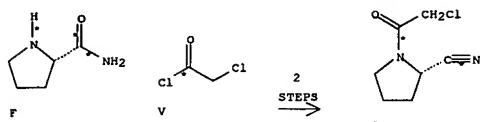
STAGE(3)
 RCT N 144-55-8 NaHCO3
 SOL 7732-18-5 Water, 141-78-6 AcOEt

PRO L 207557-33-3
 NTE stereoselective

RX(18) OF 36 COMPOSED OF RX(7), RX(4)

RX(18) F + V ==> Q

L7 ANSWER 4 OF 7 CASREACT COPYRIGHT 2008 ACS on STN (Continued)



RX(7) RCT F 7531-52-4

STAGE(1)
SOL 109-99-9 THFSTAGE(2)
RCT V 79-04-9
RGT W 584-08-7 K2CO3
SOL 109-99-9 THFPRO P 214398-99-9
NTE stereoselective

RX(4) RCT P 214398-99-9

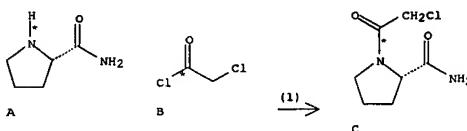
STAGE(1)
SOL 75-09-2 CH2Cl2STAGE(2)
RGT M 407-25-0 (CF3CO)2OSTAGE(3)
RGT N 144-55-8 NaHCO3
SOL 7732-18-5 Water, 75-09-2 CH2Cl2

PRO Q 207557-35-5

L7 ANSWER 5 OF 7 CASREACT COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 134:251952 CASREACT
 TITLE: Methods for the acylation of amine compounds
 INVENTOR(S): Fitt, John Joseph, Sr.; Kapa, Prasad Koteswara
 PATENT ASSIGNEE(S): Novartis Pharmaceuticals Corp., USA
 SOURCE: U.S., 4 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6211384	B1	20010403	US 1999-385722	19990830
PRIORITY APPLN. INFO.:		US 1999-385722 19990830		
OTHER SOURCE(S): MARPAT 134:251952				
AB Amines were acylated by reacting a first reactant containing an amine group with a second reactant containing an acyl halide group. The reaction takes place in the presence of secondary carboxylic acid salt forms, of formula R4R5CHCO2H (R4 is an alkyl group having 1 to 10 carbon atoms; R5 is an alkyl group having 1 to 10 carbon atoms). E.g., chloroacetyl chloride was added to L-prolinamide and sodium 2-ethylhexanoate in t-Bu Me ether to give the acylated L-prolinamide.				
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT				

RX(1) OF 6 A + B ==> C



RX(1) RCT A 7531-52-4

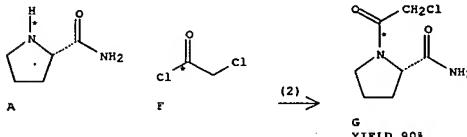
STAGE(1)
RGT D 19766-89-3 Na 2-ethylhexanoate
SOL 1634-04-4 t-BuOMeSTAGE(2)
RCT B 79-04-9
RGT E 149-57-5 2-Ethylhexanoic acid

L7 ANSWER 5 OF 7 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

PRO C 214398-99-9

L7 ANSWER 6 OF 7 CASREACT COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 129:302823 CASREACT
 TITLE: Sodium 2-ethylhexanoate: a mild acid scavenger useful in acylation of amines
 AUTHOR(S): Fitt, John; Prasad, Kapa; Repic, Olijan; Blacklock, Thomas J.
 CORPORATE SOURCE: Process R&D, Chem. Anal. Dev., Novartis Pharm. Corp., East Hanover, NJ, 07936, USA
 SOURCE: Tetrahedron Letters (1998), 39(39), 6991-6992
 CODEN: TELEAY; ISSN: 0040-4039
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB A highly useful method for the acylation of amines with acid chlorides utilizing sodium 2-ethylhexanoate as the base is described. This procedure is superior to the Schotten-Baumann conditions whenever the product is water soluble
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

RX(2) OF 6 A + F ==> G



RX(2) RCT A 7531-52-4, F 79-04-9
 RGT D 19766-89-3 Na 2-ethylhexanoate
 PRO G 214398-99-9
 SOL 109-99-9 THF

L7 ANSWER 7 OF 7 CASREACT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 102:95674 CASREACT

TITLE: Substituted piperazin-1-yl-acetic-acid amides, and
their use
INVENTOR(S): Schoenafinger, Karl; Beyerle, Rudi; Schindler,
Ursula;
PATENT ASSIGNEE(S): Martorana, Piero; Nitz, Rolf Eberhard
Cassella A.-G., Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 32 pp.
CODEN: EPXWD

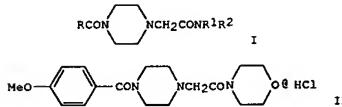
DOCUMENT TYPE: Patent
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 123977	A1	19841107	EP 1984-104042	19840411
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
DE 3315424	A1	19841220	DE 1983-3315424	19830428
DK 8401477	A	19841029	DK 1984-1477	19840229
FI 8401461	A	19841029	FI 1984-1461	19840412
NO 8401464	A	19841029	NO 1984-1464	19840412
US 4610984	A	19860909	US 1984-601637	19840418
JP 59205363	A	19841120	JP 1984-80360	19840423
DD 223711	A5	19850619	DD 1984-262312	19840425
CS 244811	B2	19860814	CS 1984-3071	19840425
HU 34178	A2	19850228	HU 1984-1608	19840426
AU 8427473	A	19841101	AU 1984-27473	19840427
ZA 8403138	A	19841128	ZA 1984-3138	19840427
CA 1202304	A1	19860325	CA 1984-452963	19840427
CS 244849	B2	19860814	CS 1985-1217	19850220
PRIORITY APPLN. INFO.:			DE 1983-3315424	19830428
OTHER SOURCE(S):			CS 1984-3071	19840425

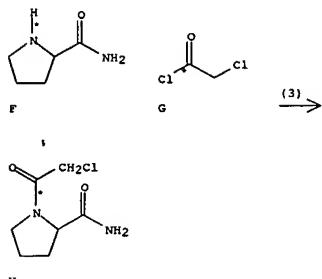
GI



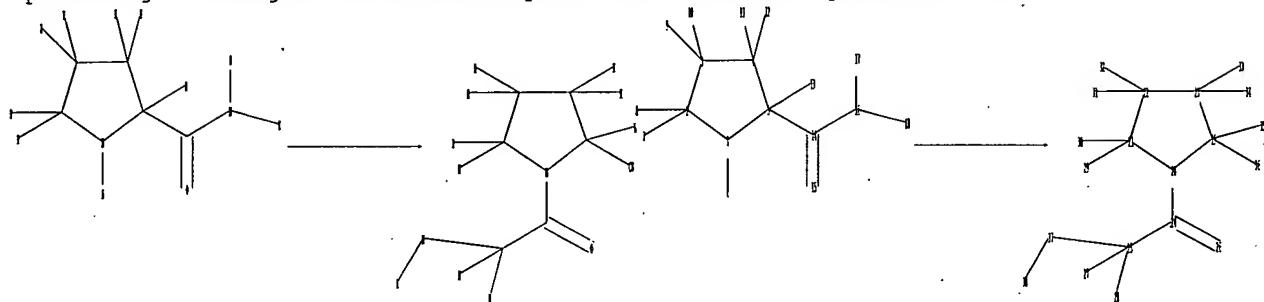
AB The title compds. (I; R = amino, C1C6H4OCH2, pyridinyl, thiienyl, furanyl, (un)substituted Ph; R1, R2 = H, (un)substituted alkyl; R1R2 = cyclohexylmethylen; N1R2 = morpholino, piperidine, 1-pyrrolidinyl, 4-methyl-1-piperazinyl) were prepared. Thus, 10.25 g 4-(1-piperazinyl)acetyl)morpholine was acylated with 4-MeOC6H4COCl to give 14.6

L7 ANSWER 7 OF 7 CASREACT COPYRIGHT 2008 ACS on STN (Continued)
g II. II enhanced learning and memory in mice in the passive avoidance test with a min. ED of 3 mg/kg orally.

RX(3) OF 6 F + G ==> H...

RX(3) RCT F 2812-47-7, G 79-04-9
PRO H 94747-48-5

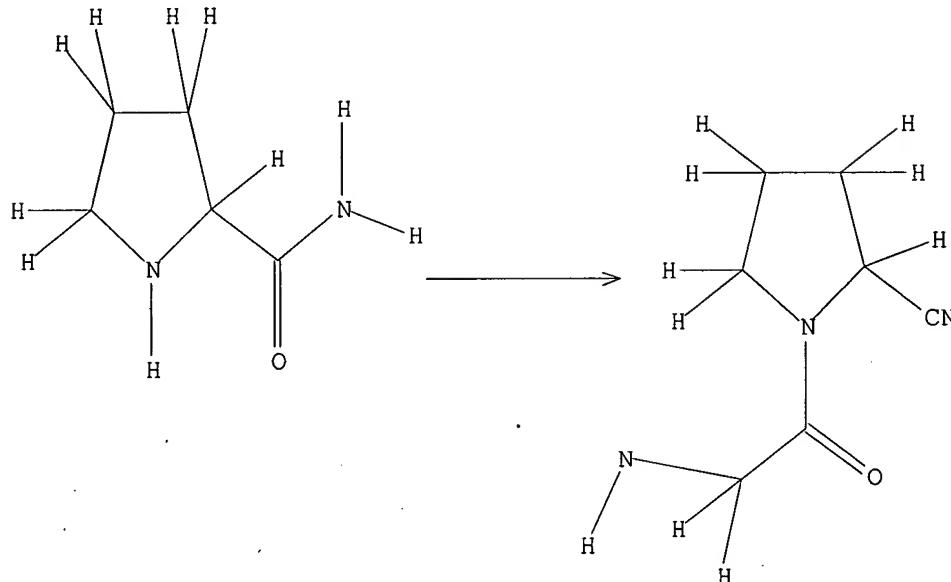
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chain nodes :
 6 7 8 9 10 11 12 13 14 15 16 17 18 24 25 26 27 28 29 30 31 32
 33 34 35 36 37 38
 ring nodes :
 1 2 3 4 5 19 20 21 22 23
 chain bonds :
 1-6 2-7 2-8 3-9 3-10 4-11 4-12 5-13 5-14 14-15 14-16 16-17 16-18 19-35
 19-36 20-24 21-29 21-30 22-31 22-32 23-33 23-34 24-25 24-26 25-28 25-27
 25-37 37-38
 ring bonds :
 1-2 1-5 2-3 3-4 4-5 19-20 19-23 20-21 21-22 22-23
 exact/norm bonds :
 1-2 1-5 2-3 3-4 4-5 14-15 14-16 19-20 19-23 20-21 20-24 21-22 22-23
 24-26 25-37
 exact bonds :
 1-6 2-7 2-8 3-9 3-10 4-11 4-12 5-13 5-14 16-17 16-18 19-35 19-36 21-29
 21-30 22-31 22-32 23-33 23-34 24-25 25-28 25-27 37-38

Match level :
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS
 18:CLASS 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:CLASS 25:CLASS 26:CLASS
 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 34:CLASS
 35:CLASS 36:CLASS 37:CLASS 38:CLASS
 fragments assigned product role:
 containing 19
 fragments assigned reactant/reagent role:
 containing 1
 node mappings:
 1:20 5:19 4:23 3:22 2:21

=> d
 L9 HAS NO ANSWERS
 L9 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 19 full
 FULL SEARCH INITIATED 18:13:44 FILE 'CASREACT'
 SCREENING COMPLETE - 1744 REACTIONS TO VERIFY FROM 47 DOCUMENTS

100.0% DONE 1744 VERIFIED 219 HIT RXNS 6 DOCS
 SEARCH TIME: 00.00.01

L10 6 SEA SSS FUL L9 (219 REACTIONS)

=> d his

(FILE 'HOME' ENTERED AT 18:05:24 ON 15 JAN 2008)

FILE 'CASREACT' ENTERED AT 18:05:34 ON 15 JAN 2008

L1	STRUCTURE UPLOADED
L2	0 S L1
L3	4 S L1 FULL
L4	STRUCTURE UPLOADED
L5	STRUCTURE UPLOADED
L6	6 S L5 FULL
L7	7 S L3 OR L6
L8	0 S L7 AND DMF
L9	STRUCTURE UPLOADED
L10	6 S L9 FULL

10/552,835

01/15/2008

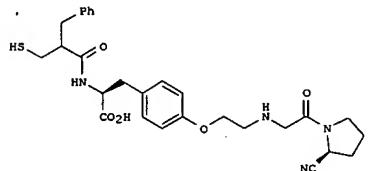
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=> s l10 or l7
L11      9 L10 OR L7

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L12      2 L10 NOT L7

=> d ibib abs hit l12 tot
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L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 144:254389 CASREACT
 TITLE: Preparation of novel peptidomimetics for inhibition
 of
 INVENTOR(S): dipeptidyl peptidase (DPP-IV) and neprilysin (NEP)
 Pierau, Sabine; Oefner, Christian; Dale, Glenn E.
 PATENT ASSIGNEE(S): Morphchem AG, Germany
 SOURCE: U.S. Pat. Appl. Publ., 17 pp.
 CODEN: USXKCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006046978	A1	20060302	US 2004-930606	20040831
PRIORITY APPLN. INFO.:			US 2004-930606	20040831
GI				



AB The invention relates to novel compds. of general formula A-L-B, A-L-C and A-L-D, where A is an inhibitor of DPP-IV, B is an inhibitor of neprilysin, C is an inhibitor of ACE, D is an inhibitor of vasopeptidases (especially NEP) and ACE) (or pharmacophores of A, B, C or D) and L is a linker, or a pharmaceutically-acceptable salt, solvent, or formulation, which are useful for the treatment as well as the prevention of type 2 diabetes mellitus. Thus, peptidomimetic compound I was prepared by a multistep procedure which includes reactions of L-prolinamide and L-tyrosine Me ester.

RX(249) OF 361 COMPOSED OF RX(12), RX(13), RX(14), RX(19), RX(20)
 RX(249) AD + AG + BC ==> A

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

STAGE(1)
 RGT AM 288-32-4 1H-Imidazole
 SOL 110-86-1 Pyridine
 CON SUBSTAGE(1) room temperature
 SUBSTAGE(2) room temperature -> -5 deg C

STAGE(2)
 RGT AN 10025-87-3 POCl3
 CON SUBSTAGE(1) -5 deg C
 SUBSTAGE(2) -5 deg C -> room temperature

PRO AL 752218-16-9

RX(14) RCT AL 752218-16-9
 RGT AQ 24057-28-1 Pyridinium tosylate
 PRO AP 752218-18-1
 SOL 64-17-5 EtOH
 CON 6 hours, 60 deg C

RX(19) RCT AP 752218-18-1

STAGE(1)
 RGT BH 603-35-0 PPh3, BI 1972-28-7 EtO2CN:NCO2Et
 SOL 109-99-9 THF
 CON 1 hour, room temperature

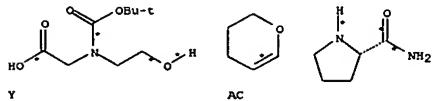
STAGE(2)
 RGT BC 124735-31-5
 CON 2 days, room temperature

STAGE(3)
 RGT BH 603-35-0 PPh3, BI 1972-28-7 EtO2CN:NCO2Et
 CON 24 hours, room temperature

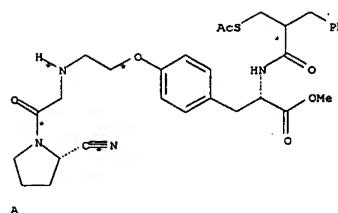
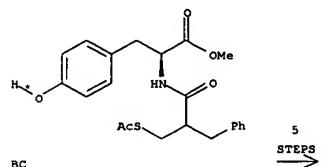
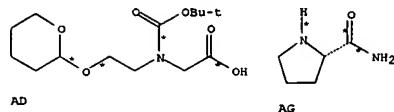
PRO BG 752218-25-0

RX(20) RCT BG 752218-25-0
 RGT F 76-05-1 F3CCO2H
 PRO A 752218-27-2
 SOL 7732-18-5 Water
 CON 3 hours, room temperature

RX(250) OF 361 COMPOSED OF RX(11), RX(12), RX(13), RX(14), RX(19), RX(20)
 RX(250) Y + AC + AG + BC ==> A



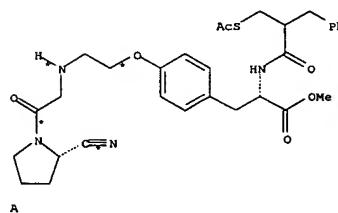
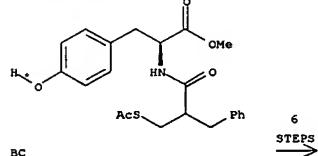
L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)



RX(12) RCT AD 752218-12-5, AG 7531-52-4
 RGT AI 538-75-0 DCC, AJ 2592-95-2 1-Benzotriazolol, AK 109-02-4
 PRO AH 877373-92-7
 SOL 75-09-2 CH2Cl2
 CON 24 hours, room temperature

RX(13) RCT AH 877373-92-7

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)



RX(11) RCT Y 189160-67-6, AC 110-07-2
 RGT AI 9037-24-5 Amberlyst 15
 PRO AD 752218-12-5
 SOL 75-09-2 CH2Cl2
 CON 24 hours, room temperature

RX(12) RCT AD 752218-12-5, AG 7531-52-4
 RGT AI 538-75-0 DCC, AJ 2592-95-2 1-Benzotriazolol, AK 109-02-4
 PRO AH 877373-92-7
 SOL 75-09-2 CH2Cl2
 CON 24 hours, room temperature

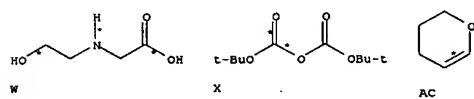
RX(13) RCT AI 877373-92-7

STAGE(1)
 RGT AM 288-32-4 1H-Imidazole
 SOL 110-86-1 Pyridine
 CON SUBSTAGE(1) room temperature
 SUBSTAGE(2) room temperature -> -5 deg C

STAGE(2)
 RGT AN 10025-87-3 POCl3
 CON SUBSTAGE(1) -5 deg C
 SUBSTAGE(2) -5 deg C -> room temperature

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

PRO AL 752218-16-9
 RCT AL 752218-16-9
 RGT AQ 24057-28-1 Pyridinium tosylate
 PRO AP 752218-18-1
 SOL 64-17-5 EtOH
 CON 6 hours, 60 deg C
 RX(19) RCT AP 752218-18-1
 STAGE(1)
 RGT BH 603-35-0 PPh3, BI 1972-28-7 EtO2CN:NCO2Et
 SOL 109-99-9 THF
 CON 1 hour, room temperature
 STAGE(2)
 RCT BC 124735-31-5
 CON 2 days, room temperature
 STAGE(3)
 RGT BH 603-35-0 PPh3, BI 1972-28-7 EtO2CN:NCO2Et
 CON 24 hours, room temperature
 PRO BG 752218-25-0
 RX(20) RCT BG 752218-25-0
 RGT F 76-05-1 F3CCO2H
 PRO A 752218-27-2
 SOL 7732-18-5 Water
 CON 3 hours, room temperature
 RX(251) OF 361 COMPOSED OF RX(10), RX(11), RX(12), RX(13), RX(14), RX(19),
 RX(20)
 RX(251) W + X + AC + AG + BC ==> A

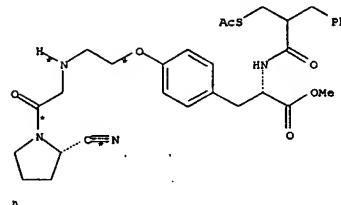
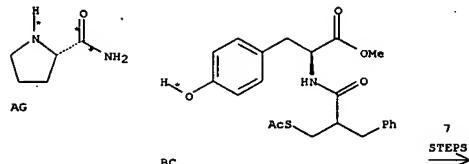


L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)
 RGT AI 538-75-0 DCC, AJ 2592-95-2 1-Benzotriazolol, AK 109-02-4
 N-Methylmorpholine
 PRO AH 877373-92-7
 SOL 75-09-2 CH2Cl2
 CON 24 hours, room temperature

RX(13) RCT AH 877373-92-7
 STAGE(1)
 RGT AM 288-32-4 1H-Imidazole
 SOL 110-86-1 Pyridine
 CON SUBSTAGE(1) room temperature
 SUBSTAGE(2) room temperature -> -5 deg C
 STAGE(2)
 RGT AN 10025-87-3 POCl3
 CON SUBSTAGE(1) -5 deg C
 SUBSTAGE(2) -5 deg C -> room temperature
 PRO AL 752218-16-9
 RX(14) RCT AL 752218-16-9
 RGT AQ 24057-28-1 Pyridinium tosylate
 PRO AP 752218-18-1
 SOL 64-17-5 EtOH
 CON 6 hours, 60 deg C
 RX(19) RCT AP 752218-18-1
 STAGE(1)
 RGT BH 603-35-0 PPh3, BI 1972-28-7 EtO2CN:NCO2Et
 SOL 109-99-9 THF
 CON 1 hour, room temperature
 STAGE(2)
 RCT BC 124735-31-5
 CON 2 days, room temperature
 STAGE(3)
 RGT BH 603-35-0 PPh3, BI 1972-28-7 EtO2CN:NCO2Et
 CON 24 hours, room temperature
 PRO BG 752218-25-0
 RX(20) RCT BG 752218-25-0
 RGT F 76-05-1 F3CCO2H
 PRO A 752218-27-2
 SOL 7732-18-5 Water
 CON 3 hours, room temperature

RX(252) OF 361 COMPOSED OF RX(9), RX(10), RX(11), RX(12), RX(13), RX(14),
 RX(19), RX(20)
 RX(252) U + V + X + AC + AG + BC ==> A

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)



RX(10) RCT W 5835-28-9, X 24424-99-5

STAGE(1)
 RGT Z 1310-73-2 NaOH
 SOL 7732-18-5 Water, 123-91-1 Dioxane
 CON 24 hours, room temperature

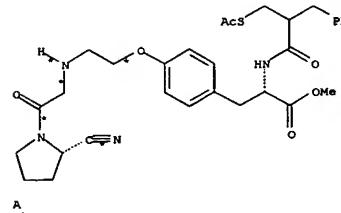
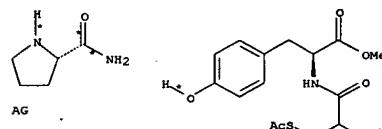
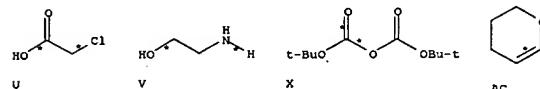
STAGE(2)
 RGT AA 7647-01-0 HCl
 SOL 7732-18-5 Water

PRO Y 189160-67-6

RX(11) RCT Y 189160-67-6, AC 110-87-2
 RGT AE 9037-24-5 Amberlyst 15
 PRO AD 752218-12-5
 SOL 75-09-2 CH2Cl2
 CON 24 hours, room temperature

RX(12) RCT AD 752218-12-5, AG 7531-52-4

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)



RX(9) RCT U 79-11-8, V 141-43-5

PRO W 5835-28-9
 SOL 7732-18-5 Water
 CON 24 hours, room temperature

RX(10) RCT W 5835-28-9, X 24424-99-5

STAGE(1)
 RGT Z 1310-73-2 NaOH
 SOL 7732-18-5 Water, 123-91-1 Dioxane
 CON 24 hours, room temperature

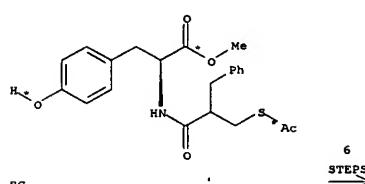
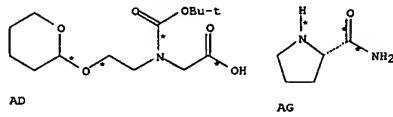
STAGE(2)
 RGT AA 7647-01-0 HCl

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)
SOL 7732-18-5 Water

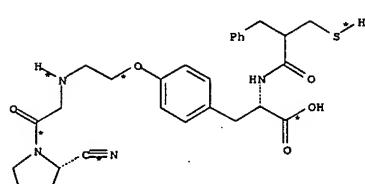
PRO Y 189160-67-6
RX(11) RCT Y 189160-67-6, AC 110-87-2
RGT AE 9037-24-5 Amberlyst 15
PRO AD 752218-12-5
SOL 75-09-2 CH2Cl2
CON 24 hours, room temperature
RX(12) RCT AD 752218-12-5, AG 7531-52-4
RGT AI 538-75-0 DCC, AJ 2592-95-2 1-Benzotriazolol, AK 109-02-4
N-Methylmorpholine
PRO AH 877373-92-7
SOL 75-09-2 CH2Cl2
CON 24 hours, room temperature
RX(13) RCT AH 877373-92-7
STAGE(1)
RGT AM 288-32-4 1H-Imidazole
SOL 110-86-1 Pyridine
CON SUBSTAGE(1) room temperature
SUBSTAGE(2) room temperature -> -5 deg C
STAGE(2)
RGT AN 10025-87-3 POCl3
CON SUBSTAGE(1) -5 deg C
SUBSTAGE(2) -5 deg C -> room temperature
PRO AL 752218-16-9
RX(14) RCT AL 752218-16-9
RGT AQ 24057-28-1 Pyridinium tosylate
PRO AP 752218-18-1
SOL 64-17-5 EtOH
CON 6 hours, 60 deg C
RX(19) RCT AP 752218-18-1
STAGE(1)
RGT BH 603-35-0 PPh3, BI 1972-28-7 EtO2CN:NCO2Et
SOL 109-99-9 THF
CON 1 hour, room temperature
STAGE(2)
RGT BC 124735-31-5
CON 2 days, room temperature
STAGE(3)
RGT BH 603-35-0 PPh3, BI 1972-28-7 EtO2CN:NCO2Et
CON 24 hours, room temperature
PRO BG 752218-25-0

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)
RX(20) RCT BG 752218-25-0
RGT F 76-05-1 F3CCO2H
PRO A 752218-27-2
SOL 7732-18-5 Water
CON 3 hours, room temperature

RX(254) OF 361 COMPOSED OF RX(12), RX(13), RX(14), RX(19), RX(20), RX(1)
RX(254) AD + AG + BC ==> B



6 STEPS $\xrightarrow{\hspace{1cm}}$

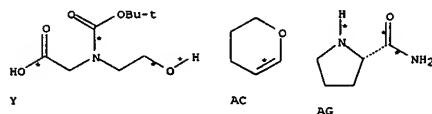


B

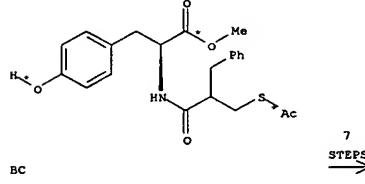
L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

RX(12) RCT AD 752218-12-5, AG 7531-52-4
RGT AI 538-75-0 DCC, AJ 2592-95-2 1-Benzotriazolol, AK 109-02-4
N-Methylmorpholine
PRO AH 877373-92-7
SOL 75-09-2 CH2Cl2
CON 24 hours, room temperature
RX(13) RCT AH 877373-92-7
STAGE(1)
RGT AM 288-32-4 1H-Imidazole
SOL 110-86-1 Pyridine
CON SUBSTAGE(1) room temperature
SUBSTAGE(2) room temperature -> -5 deg C
STAGE(2)
RGT AN 10025-87-3 POCl3
CON SUBSTAGE(1) -5 deg C
SUBSTAGE(2) -5 deg C -> room temperature
PRO AL 752218-16-9
RX(14) RCT AL 752218-16-9
RGT AQ 24057-28-1 Pyridinium tosylate
PRO AP 752218-18-1
SOL 64-17-5 EtOH
CON 6 hours, 60 deg C
RX(19) RCT AP 752218-18-1
STAGE(1)
RGT BH 603-35-0 PPh3, BI 1972-28-7 EtO2CN:NCO2Et
SOL 109-99-9 THF
CON 1 hour, room temperature
STAGE(2)
RGT BC 124735-31-5
CON 2 days, room temperature
STAGE(3)
RGT BH 603-35-0 PPh3, BI 1972-28-7 EtO2CN:NCO2Et
CON 24 hours, room temperature
PRO BG 752218-25-0
RX(20) RCT BG 752218-25-0
RGT F 76-05-1 F3CCO2H
PRO A 752218-27-2
SOL 7732-18-5 Water
CON 3 hours, room temperature
RX(1) RCT A 752218-27-2
RGT C 1310-65-2 LiOH
PRO B 752218-29-4
SOL 109-99-9 THF
CON 24 hours, room temperature

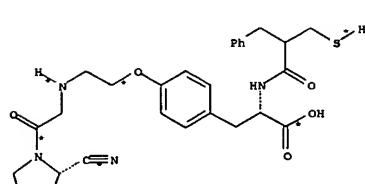
L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)
RX(255) OF 361 COMPOSED OF RX(11), RX(12), RX(13), RX(14), RX(19), RX(20),
RX(1) Y + AC + AG + BC ==> B



Y AC AG



7 STEPS $\xrightarrow{\hspace{1cm}}$



RX(11) RCT Y 189160-67-6, AC 110-87-2
RGT AE 9037-24-5 Amberlyst 15
PRO AD 752218-12-5
SOL 75-09-2 CH2Cl2
CON 24 hours, room temperature

RX(12) RCT AD 752218-12-5, AG 7531-52-4
RGT AI 538-75-0 DCC, AJ 2592-95-2 1-Benzotriazolol, AK 109-02-4

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

N-Methylmorpholine
PRO AH 877373-92-7
SOL 75-09-2 CH₂Cl₂
CON 24 hours, room temperature

RX(13) RCT AH 877373-92-7

STAGE(1)
RGT AM 288-32-4 1H-Imidazole
SOL 110-86-1 Pyridine
CON SUBSTAGE(1) room temperature
SUBSTAGE(2) room temperature -> -5 deg C

STAGE(2)
RGT AN 10025-87-3 POC13
CON SUBSTAGE(1) -5 deg C
SUBSTAGE(2) -5 deg C -> room temperature

PRO AL 752218-16-9

RX(14) RCT AL 752218-16-9
RGT AQ 24057-28-1 Pyridinium tosylate
PRO AP 752218-18-1
SOL 64-17-5 EtOH
CON 6 hours, 60 deg C

RX(19) RCT AP 752218-18-1

STAGE(1)
RGT BH 603-35-0 PPh3, BI 1972-28-7 EtO2CN:NCO2Et
SOL 109-99-9 THF
CON 1 hour, room temperature

STAGE(2)
RGT BC 124735-31-5
CON 2 days, room temperature

STAGE(3)
RGT BH 603-35-0 PPh3, BI 1972-28-7 EtO2CN:NCO2Et
CON 24 hours, room temperature

PRO BG 752218-25-0

RX(20) RCT BG 752218-25-0
RGT F 76-05-1 F3CCO2H
PRO A 752218-27-2
SOL 7732-18-5 Water
CON 3 hours, room temperature

RX(1) RCT A 752218-27-2
RGT C 1310-65-2 LiOH
PRO B 752218-29-4
SOL 109-99-9 THF
CON 24 hours, room temperature

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

SOL 7732-18-5 Water, 123-91-1 Dioxane
CON 24 hours, room temperature

STAGE(2)
RGT AA 7647-01-0 HCl
SOL 7732-18-5 Water

PRO Y 189160-67-6

RX(11) RCT Y 189160-67-6, AC 110-87-2
RGT AE 9037-24-5 Amberlyst 15
PRO AD 752218-12-5
SOL 75-09-2 CH₂Cl₂
CON 24 hours, room temperature

RX(12) RCT AD 752218-12-5, AG 7531-52-4
RGT AI 538-75-0 DCC, AJ 2592-95-2 1-Benzotriazolol, AK 109-02-4
N-Methylmorpholine
PRO AH 877373-92-7
SOL 75-09-2 CH₂Cl₂
CON 24 hours, room temperature

RX(13) RCT AH 877373-92-7

STAGE(1)
RGT AM 288-32-4 1H-Imidazole
SOL 110-86-1 Pyridine
CON SUBSTAGE(1) room temperature
SUBSTAGE(2) room temperature -> -5 deg C

STAGE(2)
RGT AN 10025-87-3 POC13
CON SUBSTAGE(1) -5 deg C
SUBSTAGE(2) -5 deg C -> room temperature

PRO AL 752218-16-9

RX(14) RCT AL 752218-16-9
RGT AQ 24057-28-1 Pyridinium tosylate
PRO AP 752218-18-1
SOL 64-17-5 EtOH
CON 6 hours, 60 deg C

RX(19) RCT AP 752218-18-1

STAGE(1)
RGT BH 603-35-0 PPh3, BI 1972-28-7 EtO2CN:NCO2Et
SOL 109-99-9 THF
CON 1 hour, room temperature

STAGE(2)
RGT BC 124735-31-5
CON 2 days, room temperature

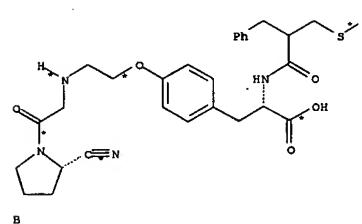
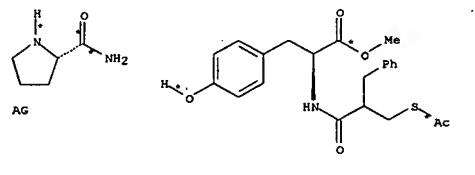
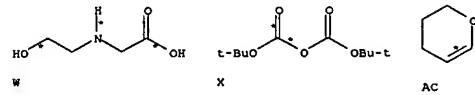
STAGE(3)
RGT BH 603-35-0 PPh3, BI 1972-28-7 EtO2CN:NCO2Et
CON 24 hours, room temperature

PRO BG 752218-25-0

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

RX(256) OF 361 COMPOSED OF RX(10), RX(11), RX(12), RX(13), RX(14), RX(19),
RX(20), RX(1)

RX(256) W + X + AC + AG + BC ==> B



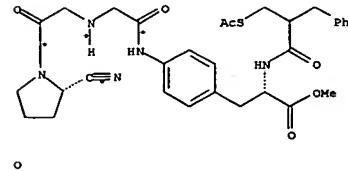
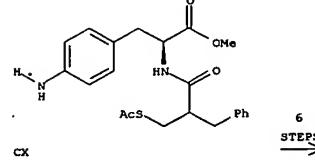
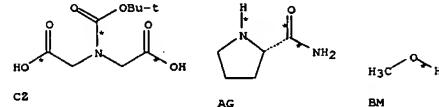
RX(10) RCT W 5835-28-9, X 24424-99-5
STAGE(1)
RGT Z 1310-73-2 NaOH

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

RX(20) RCT BC 752218-25-0
RGT F 76-05-1 F3CCO2H
PRO A 752218-27-2
SOL 7732-18-5 Water
CON 3 hours, room temperature

RX(1) RCT A 752218-27-2
RGT C 1310-65-2 LiOH
PRO B 752218-29-4
SOL 109-99-9 THF
CON 24 hours, room temperature

RX(350) OF 361 COMPOSED OF RX(48), RX(49), RX(50), RX(51), RX(52), RX(53)
RX(350) CZ + AG + BM + CX ==> O



L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)
 RX(48) RCT CZ 56074-20-5

STAGE(1)
 RGT AI 538-75-0 DCC
 SOL 109-99-9 THF
 CON overnight, room temperature

STAGE(2)
 RCT AG 7531-52-4
 CON 3 hours, room temperature -> 50 deg C

PRO DA 877374-12-4

RX(49) RCT DA 877374-12-4, BM 67-56-1
 RGT CO 1122-58-3 4-DMAP, CD 25952-53-8 EDAP
 PRO DB 877374-13-5
 SOL 75-09-2 CH2Cl2
 CON overnight, room temperature

RX(50) RCT DB 877374-13-5

STAGE(1)
 SOL 110-86-1 Pyridine
 CON SUBSTAGE(1) room temperature
 SUBSTAGE(2) room temperature -> -20 deg C

STAGE(2)
 RGT AN 10025-87-3 POCl3, AM 288-32-4 1H-Imidazole
 CON SUBSTAGE(1) -20 deg C
 SUBSTAGE(2) -20 deg C -> room temperature

PRO DC 877374-14-6

RX(51) RCT DC 877374-14-6

STAGE(1)
 RGT C 1310-65-2 LiOH
 SOL 7732-18-5 Water, 109-99-9 THF
 CON 4 hours, room temperature

STAGE(2)
 RGT BL 7681-38-1 NaHSO4
 SOL 7732-18-5 Water

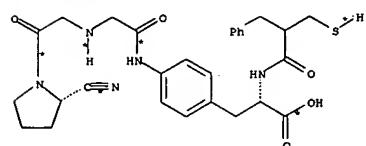
PRO DD 877374-15-7

RX(52) RCT CX 877374-09-9, DD 877374-15-7

STAGE(1)
 SOL 110-86-1 Pyridine
 CON SUBSTAGE(1) room temperature
 SUBSTAGE(2) room temperature -> -20 deg C

STAGE(2)
 RGT AN 10025-87-3 POCl3

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)



P

RX(48) RCT CZ 56074-20-5

STAGE(1)
 RGT AI 538-75-0 DCC
 SOL 109-99-9 THF
 CON overnight, room temperature

STAGE(2)
 RCT AG 7531-52-4
 CON 3 hours, room temperature -> 50 deg C

PRO DA 877374-12-4

RX(49) RCT DA 877374-12-4, BM 67-56-1
 RGT CO 1122-58-3 4-DMAP, CD 25952-53-8 EDAP
 PRO DB 877374-13-5
 SOL 75-09-2 CH2Cl2
 CON overnight, room temperature

RX(50) RCT DB 877374-13-5

STAGE(1)
 SOL 110-86-1 Pyridine
 CON SUBSTAGE(1) room temperature
 SUBSTAGE(2) room temperature -> -20 deg C

STAGE(2)
 RGT AN 10025-87-3 POCl3, AM 288-32-4 1H-Imidazole
 CON SUBSTAGE(1) -20 deg C
 SUBSTAGE(2) -20 deg C -> room temperature

PRO DC 877374-14-6

RX(51) RCT DC 877374-14-6

STAGE(1)
 RGT C 1310-65-2 LiOH
 SOL 7732-18-5 Water, 109-99-9 THF
 CON 4 hours, room temperature

STAGE(2)
 RGT BL 7681-38-1 NaHSO4
 SOL 7732-18-5 Water

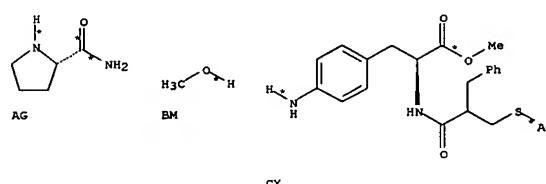
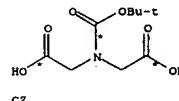
L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)
 CON SUBSTAGE(1) 1 hour, -20 deg C
 SUBSTAGE(2) overnight, -20 deg C -> room temperature

PRO DE 877374-16-8

RX(53) RCT DE 877374-16-8
 RGT F 76-05-1 F3CCO2H
 PRO O 877374-17-9
 SOL 7732-18-5 Water
 CON 5 hours, room temperature

RX(353) OF 361 COMPOSED OF RX(48), RX(49), RX(50), RX(51), RX(52), RX(53), RX(6)

RX(353) C2 + AG + BM + CX ==> P



7
 STEPS

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

PRO DD 877374-15-7

RX(52) RCT CX 877374-09-9, DD 877374-15-7

STAGE(1)
 SOL 110-86-1 Pyridine
 CON SUBSTAGE(1) room temperature
 SUBSTAGE(2) room temperature -> -20 deg C

STAGE(2)
 RGT AN 10025-87-3 POCl3
 CON SUBSTAGE(1) 1 hour, -20 deg C
 SUBSTAGE(2) overnight, -20 deg C -> room temperature

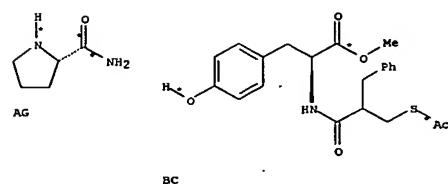
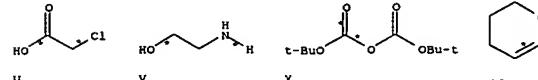
PRO DE 877374-16-8

RX(53) RCT DE 877374-16-8
 RGT F 76-05-1 F3CCO2H
 PRO O 877374-17-9
 SOL 7732-18-5 Water
 CON 5 hours, room temperature

RX(6) RCT O 877374-17-9
 RGT C 1310-65-2 LiOH
 PRO P 877373-89-2
 SOL 7732-18-5 Water, 109-99-9 THF
 CON 4 hours, room temperature

RX(358) OF 361 COMPOSED OF RX(9), RX(10), RX(11), RX(12), RX(13), RX(14), RX(19), RX(20), RX(1)

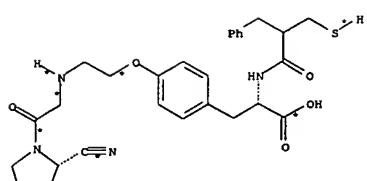
RX(358) U + V + X + AC + AG + BC ==> B



9
 STEPS

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN

(Continued)



B

RX(9) RCT U 79-11-8, V 141-43-5
PRO W 5835-28-9
SOL 7732-18-5 Water
CON 24 hours, room temperature

RX(10) RCT W 5835-28-9, X 24424-99-5

STAGE(1)
RGT Z 1310-73-2 NaOH
SOL 7732-18-5 Water, 123-91-1 Dioxane
CON 24 hours, room temperatureSTAGE(2)
RGT AA 7647-01-0 HCl
SOL 7732-18-5 Water

PRO Y 189160-67-6

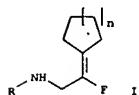
RX(11) RCT Y 189160-67-6, AC 110-87-2
RGT AE 9037-24-5 Amberlyst 15
PRO AD 752218-12-5
SOL 75-09-2 CH2Cl2
CON 24 hours, room temperatureRX(12) RCT AD 752218-12-5, AG 7531-52-4
RGT AI 538-75-0 DCC, AJ 2592-95-2 1-Benzotriazolol, AK 109-02-4
N-Methylmorpholine
PRO AH 877373-92-7
SOL 75-09-2 CH2Cl2
CON 24 hours, room temperature

RX(13) RCT AH 877373-92-7

STAGE(1)

L12 ANSWER 2 OF 2 CASREACT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 142:218971 CASREACT

TITLE: Fluoro-Olefins as Peptidomimetic Inhibitors of Dipeptidyl Peptidases
AUTHOR(S): Van der Veken, Pieter; Senten, Kristel; Kertesz, Istvan; De Meester, Ingrid; Lambrechts, Anne-Marie; Maes, Marie-Berthe; Scharpe, Simon; Haemers, Achiel; Augustyns, Koen
CORPORATE SOURCE: Departments of Medicinal Chemistry and Medical Biochemistry, University of Antwerp, Antwerp, B-2610, Belg.
SOURCE: Journal of Medicinal Chemistry (2005), 48(6), 1768-1780
PUBLISHER: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

AB in The feasibility of the fluoro-olefin function as a peptidomimetic group in inhibitors for dipeptidyl peptidase IV and II (DPP IV and DPP II) is investigated by evaluation of N-substituted Gly- Ψ [CF:Cl]pyrrolidines, Gly- Ψ [CF:Cl]piperidines (i.e., I and II with R = cyclohexyl, PhCH₂, 4-benzyl-4-piperidyl, etc.) and Gly- Ψ [CF:Cl](2-cyano)pyrrolidines. Of this latter class, the (Z)- and (E)-fluoro-olefin analogs were prepared and chemical stability in comparison with the parent amide was checked. Most of these compds. exhibited a strong binding preference toward DPP II with IC₅₀ values in the low micromolar range, while only low DPP IV inhibitory potential is seen.

REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

RX(79) OF 237 AN + DI + EG ==> EH

L12 ANSWER 1 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

RGT AM 288-32-4 1H-Imidazole
SOL 110-86-1 Pyridine
CON SUBSTAGE(1) room temperature
SUBSTAGE(2) room temperature -> -5 deg C

STAGE(2)

RGT AN 10025-87-3 POCl₃
CON SUBSTAGE(1) -5 deg C
SUBSTAGE(2) -5 deg C -> room temperature

PRO AL 752218-16-9

RX(14) RCT AL 752218-16-9
RGT AG 24057-28-1 Pyridinium tosylate
PRO AP 752218-18-1
SOL 64-17-5 EtOH
CON 6 hours, 60 deg C

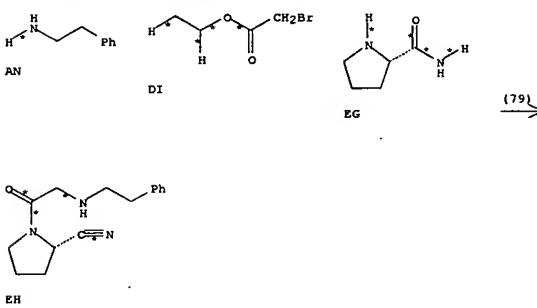
RX(19) RCT AP 752218-18-1

STAGE(1)
RGT BH 603-35-0 PPh₃, BI 1972-28-7 EtO₂CN:NCO₂Et
SOL 109-99-9 THF
CON 1 hour, room temperatureSTAGE(2)
RGT BC 124735-31-5
CON 2 days, room temperatureSTAGE(3)
RGT BH 603-35-0 PPh₃, BI 1972-28-7 EtO₂CN:NCO₂Et
CON 24 hours, room temperature

PRO BG 752218-25-0

RX(20) RCT BG 752218-25-0
RGT F 76-05-1 F₃CCO₂H
PRO A 752218-27-2
SOL 7732-18-5 Water
CON 3 hours, room temperatureRX(1) RCT A 752218-27-2
RGT C 1310-65-2 LiOH
PRO B 752218-29-4
SOL 109-99-9 THF
CON 24 hours, room temperature

L12 ANSWER 2 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)



RX(79) RCT AN 64-04-0

STAGE(1)
RGT BW 24424-99-5 (Boc)2O
SOL 75-09-2 CH₂Cl₂STAGE(2)
RGT DI 105-36-2
SOL 60-29-7 Et₂OSTAGE(3)
RGT EG 7531-52-4
SOL 60-29-7 Et₂O
CON SUBSTAGE(1) -5 deg C
SUBSTAGE(2) 4 hours, room temperatureSTAGE(4)
RGT AB 7631-86-9 SiO₂
CON room temperatureSTAGE(5)
RGT BY 288-32-4 1H-Imidazole
SOL 110-86-1 Pyridine
CON room temperature -> -10 deg CSTAGE(6)
RGT AC 10025-87-3 POCl₃
SOL 75-09-2 CH₂Cl₂
CON 15 minutes, -10 deg CSTAGE(7)
RGT AB 7631-86-9 SiO₂
CON room temperature

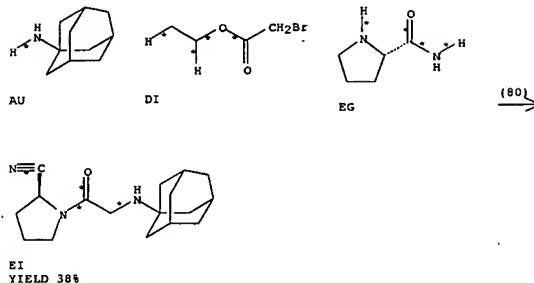
L12 ANSWER 2 OF 2 CASREACT COPYRIGHT 2008 ACS on STN

(Continued)

STAGE(8)
 RGT CU 76-05-1 F3CCO2H
 SOL 75-09-2 CH2Cl2
 CON 15 minutes, room temperature

PRO EH 437702-73-3

RX(80) OF 237 AU + DI + EG ==> EI



RX(80) RCT AU 768-94-5

STAGE(1)
 RGT BW 24424-99-5 (Boc)2O
 SOL 75-09-2 CH2Cl2

STAGE(2)
 RCT DI 105-36-2
 SOL 60-29-7 Et2O

STAGE(3)
 RCT EG 7531-52-4
 SOL 60-29-7 Et2O
 CON SUBSTAGE(1) -5 deg C
 SUBSTAGE(2) 4 hours, room temperature

STAGE(4)
 RGT AB 7631-86-9 SiO2
 CON room temperature

L12 ANSWER 2 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

RCT DI 105-36-2
 SOL 60-29-7 Et2O

STAGE(3)
 RCT EG 7531-52-4
 SOL 60-29-7 Et2O
 CON SUBSTAGE(1) -5 deg C
 SUBSTAGE(2) 4 hours, room temperature

STAGE(4)
 RGT AB 7631-86-9 SiO2
 CON room temperature

STAGE(5)
 RGT BY 288-32-4 1H-Imidazole
 SOL 110-86-1 Pyridine
 CON room temperature -> -10 deg C

STAGE(6)
 RGT AC 10025-87-3 POCl3
 SOL 75-09-2 CH2Cl2
 CON 15 minutes, -10 deg C

STAGE(7)
 RGT AB 7631-86-9 SiO2
 CON room temperature

STAGE(8)
 RGT CU 76-05-1 F3CCO2H
 SOL 75-09-2 CH2Cl2
 CON 15 minutes, room temperature

PRO EJ 777946-69-7

L12 ANSWER 2 OF 2 CASREACT COPYRIGHT 2008 ACS on STN (Continued)

STAGE(5)
 RGT BY 288-32-4 1H-Imidazole
 SOL 110-86-1 Pyridine
 CON room temperature -> -10 deg C

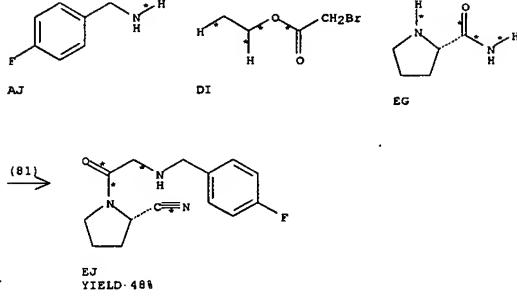
STAGE(6)
 RGT AC 10025-87-3 POCl3
 SOL 75-09-2 CH2Cl2
 CON 15 minutes, -10 deg C

STAGE(7)
 RGT AB 7631-86-9 SiO2
 CON room temperature

STAGE(8)
 RGT CU 76-05-1 F3CCO2H
 SOL 75-09-2 CH2Cl2
 CON 15 minutes, room temperature

PRO EI 741657-02-3

RX(81) OF 237 AJ + DI + EG ==> EJ



RX(81) RCT AJ 140-75-0

STAGE(1)
 RGT BW 24424-99-5 (Boc)2O
 SOL 75-09-2 CH2Cl2

STAGE(2)